

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

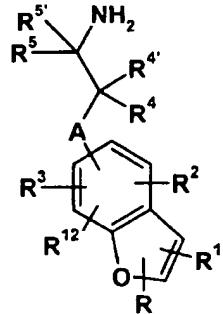
R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>14</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;

or pharmaceutically acceptable acid addition salts thereof.

2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of Formula I:



where:

A is -CHR<sup>13</sup>- or a bond;

R is hydrogen, halo, cyano, -C(O)NR<sup>6</sup>R<sup>7</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>1</sup> is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup> and R<sup>3</sup> are independently hydrogen, halo, amino, nitro, C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano, carboxamido, -C(O)NR<sup>8</sup>R<sup>9</sup>, -NR<sup>10</sup>R<sup>11</sup>, -NHC(O)NHR<sup>14</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxyl, trifluoromethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, phenoxy, and phenyl;

R<sup>4</sup> and R<sup>4'</sup> are independently hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl; or R<sup>4</sup> and R<sup>4'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

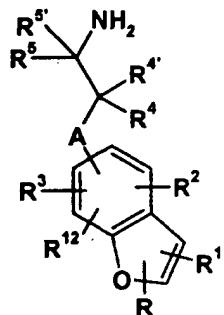
R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

$R^{14}$  is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy; or pharmaceutically acceptable acid addition salts thereof.

3. A method for increasing activation of the 5-HT<sub>2C</sub> receptor in mammals, comprising administering to a mammal in need of such activation a pharmaceutically effective amount of a compound of Formula I:



where:

$A$  is -CHR<sup>13</sup>- or a bond;

$R$  is hydrogen, halo, cyano, -C(O)NR<sup>6</sup>R<sup>7</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;

$R^1$  is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

$R^2$  and  $R^3$  are independently hydrogen, halo, amino, nitro, C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano, carboxamido, -C(O)NR<sup>8</sup>R<sup>9</sup>, -NR<sup>10</sup>R<sup>11</sup>, -NHC(O)NHR<sup>14</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxyl, trifluoromethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, phenoxy, and phenyl;

R<sup>4</sup> and R<sup>4'</sup> are independently hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl; or R<sup>4</sup> and R<sup>4'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

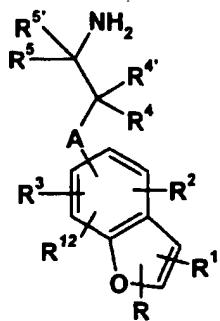
R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>14</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;

or pharmaceutically acceptable acid addition salts thereof.

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



where:

A is -CHR<sup>13</sup>- or a bond;

R is hydrogen, halo, cyano, -C(O)NR<sup>6</sup>R<sup>7</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>1</sup> is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup> and R<sup>3</sup> are independently hydrogen, halo, amino, nitro, C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano, carboxamido, -C(O)NR<sup>8</sup>R<sup>9</sup>, -NR<sup>10</sup>R<sup>11</sup>, -NHC(O)NHR<sup>14</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxyl, trifluoromethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, phenoxy, and phenyl;

R<sup>4</sup> and R<sup>4'</sup> are independently hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl; or R<sup>4</sup> and R<sup>4'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

$R^9$  is  $C_1-C_8$  alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo,  $C_1-C_4$  alkyl, or  $C_1-C_4$  alkoxy;

$R^{10}$  is hydrogen or  $C_1-C_4$  alkyl;

$R^{11}$  is  $C_1-C_4$  alkyl or  $C_1-C_4$  acyl;

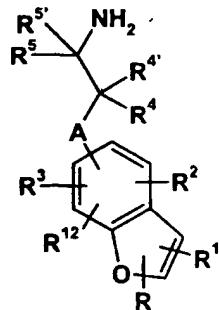
$R^{12}$  is hydrogen, halo, or  $C_1-C_4$  alkyl;

$R^{13}$  is hydrogen,  $C_1-C_4$  alkyl, or benzyl;

$R^{14}$  is hydrogen,  $C_1-C_4$  alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo,  $C_1-C_4$  alkyl, and  $C_1-C_4$  alkoxy;

or pharmaceutically acceptable acid addition salts thereof.

5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



where:

A is  $-CHR^{13}-$  or a bond;

R is hydrogen, halo, cyano,  $-C(O)NR^6R^7$ ,  $C_1-C_6$  alkyl,  $C_1-C_4$  alkoxy carbonyl, carboxy, or phenyl optionally substituted with one or two

substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>1</sup> is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup> and R<sup>3</sup> are independently hydrogen, halo, amino, nitro, C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano, carboxamido, -C(O)NR<sup>8</sup>R<sup>9</sup>, -NR<sup>10</sup>R<sup>11</sup>, -NHC(O)NHR<sup>14</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, carboxyl, trifluoromethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, phenoxy, and phenyl;

R<sup>4</sup> and R<sup>4'</sup> are independently hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl; or R<sup>4</sup> and R<sup>4'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>14</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy;  
or pharmaceutically acceptable acid addition salts thereof.

6. (once amended) A method of [any of] Claim[s] 3[, 4, or 5] where the mammal is human[;]
7. (new) A method of Claim 4 where the mammal is human;
8. (new) A method of Claim 5 where the mammal is human.



## UNITED STATES PATENT AND TRADEMARK OFFICE

Commissioner for Patents, Box PC1  
 United States Patent and Trademark Office  
 Washington, D.C. 20231  
[www.uspto.gov](http://www.uspto.gov)

U.S. APPLICATION NUMBER NO	FIRST NAMED APPLICANT	ATTY. DOCKET NO.
09/890,311	Karin Briner	X-11594
		INTERNATIONAL APPLICATION NO.
		PCT/US00/01342
I.A. FILING DATE		PRIORITY DATE
		01/19/2000
CONFIRMATION NO. 8925		
371		
ABANDONMENT/TERMINATION		
LETTER		
*OC00000009112886*		

**NOV 19 2002**  
**ELI LILLY AND COMPANY**  
 1200 Corporate Park Drive  
 Indianapolis, IN 46285-0002

Date Mailed: 11/15/2002

## NOTIFICATION OF ABANDONMENT

The United States Patent and Trademark Office in its capacity as an Elected Office (37 CFR 1.495), has made the following determination:

- Applicant has failed to respond to the notification of MISSING REQUIREMENTS, mailed 09/10/2001 within the time period set therein.

Therefore, the above identified application failed to meet the requirements of 35 U.S.C. 371 and 37 CFR 1.495, and is ABANDONED AS TO THE UNITED STATES OF AMERICA.

SHELBY J VIGIL

Telephone: (703) 305-3653

## PART 1 - ATTORNEY/APPLICANT COPY

FORM PCT/DO/EO/909 (371 Abandonment Notice)



Creation date: 01-08-2004

Indexing Officer: NNGUYEN7 - NAM NGUYEN

Team: OIPEBackFileIndexing

Dossier: 09890311

Legal Date: 12-02-2002

No.	Doccode	Number of pages
1	BIB	1
2	OATH	5
3	PET.	3

Total number of pages: 9

Remarks:

Order of re-scan issued on .....